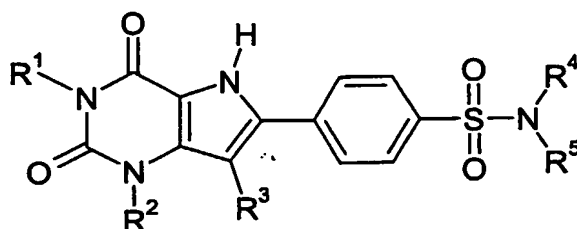


CLAIMS

1. A compound of formula (I)

5



(I)

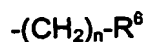
wherein

10 R¹ and R² each independently represent:

a hydrogen atom;

15 a hydrocarbon chain selected from an alkyl, alkenyl, alkynyl group, which is optionally substituted by one or more substituents selected from halogen, hydroxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, cyano, oxo, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy or dialkoxyphosphoryloxy groups;

20 or a group of formula



25 wherein n is an integer from 0 to 4 and R⁶ represents a 3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms selected from N, O and S, which is optionally bridged and/or fused to another 3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms selected from N, O and S;

30 the cyclic groups in the moiety R⁶ being optionally substituted by one or more R⁷ substituents selected from halogen, alkyl, alkenyl, alkynyl, aryl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, heterocyclyl, hydroxy, alkylenedioxy, alkoxy, alkylthio, amino,

monoalkylamino, dialkylamino, nitro, cyano, oxo, hydroxycarbonyl, alkoxycarbonyl, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy and dialkoxyphosphoryloxy groups;

- 5 the hydrocarbon chains and the cyclic moieties of these R^7 substituents being optionally substituted by one or more further R^8 substituents selected from halogen, hydroxy, oxo, cyano, alkyl, difluoromethyl, trifluoromethyl, alkoxy, alkylendioxy, alkylthio, acylamino, carbamoyl, alkylcarbamoyl, dihydroxyphosphoryloxy, dialkoxyphosphoryloxy, hydroxyalkoxy, phenyl, alkoxycarbonyl, amino, monoalkylamino, dialkylamino and
- 10 hydroxycarbonyl groups;

- R^3 represents a hydrogen or halogen atom, or a nitro, alkoxycarbonyl or alkyl group; the alkyl group being optionally substituted by one or more substituents selected from hydroxy, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, hydroxycarbonyl,
- 15 alkoxycarbonyl, acylamino, carbamoyl or alkylcarbamoyl groups;

R^4 and R^5 are the same or different, each independently representing:

- hydrogen;
- 20

a group of formula $-(CH_2)_n-R^6$; wherein n is an integer from 0 to 4; and R^6 is as defined above and is optionally substituted by one or more R^7 substituents, wherein R^7 is as defined above and is optionally substituted by one or more further R^8 substituents, wherein R^8 is as defined above;

25

- or a hydrocarbon chain selected from alkyl, alkenyl or alkynyl, which is optionally substituted by one or more substituents selected from $-(CH_2)_n-R^6$, $-O-(CH_2)_n-R^6$, $-S-(CH_2)_n-R^6$, $-NH-(CH_2)_n-R^6$, hydroxy, oxo, halogen, alkoxy, alkylthio, amino, monoalkylamino, and dialkylamino groups; the alkyl chains in the alkoxy, alkylthio, monoalkylamino and dialkylamino substituents being optionally substituted by one or more further substituents selected from $-(CH_2)_n-R^6$, hydroxy, oxo, halogen, alkoxy, alkylthio, amino, monoalkylamino and dialkylamino groups; wherein each n is independently an integer from 0 to 4 and each R^6 is as defined above and is optionally substituted by one or more R^7 substituents, wherein R^7 is as defined above and is optionally substituted by one or more further R^8
- 30 substituents, wherein R^8 is as defined above;
- 35

or, alternatively, R⁴ and R⁵, together with the nitrogen atom to which they are attached, form a 3- to 7-membered aromatic or non-aromatic cyclic group containing from 1 to 4 heteroatoms selected from N, O and S, which is optionally bridged and/or fused to another

3- to 7-membered aromatic or non-aromatic cyclic group containing from 0 to 4 heteroatoms selected from N, O and S; the cyclic groups being optionally substituted by one or more substituents selected from -(CH₂)_n-R⁶ and R⁷; the hydrocarbon chains and the cyclic moieties of the R⁷ substituents being optionally substituted by one or more further substituents selected from -(CH₂)_n-R⁶ and R⁸; and the alkyl chains in the R⁸ substituents being optionally substituted by one or more further substituents selected from -(CH₂)_n-R⁶, hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino and dialkylamino groups; wherein each of the R⁶ substituents is optionally substituted by one or more R⁷ substituents and each of these R⁷ substituents is optionally substituted by one or more R⁸ substituents; and wherein each n, R⁶, R⁷ and R⁸ is as defined above;

or an N-oxide or a pharmaceutically acceptable salt thereof.

2. A compound according to claim 1 wherein each of R¹ and R² independently represents:

an allyl group optionally substituted by one or more substituents selected from hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino, dialkylamino, hydroxycarbonyl, and alkoxy carbonyl groups;

or a group of formula -(CH₂)_n-R⁶, wherein n is an integer from 0 to 2 and R⁶ represents a 3- to 7-membered aromatic or non-aromatic cyclic group having from 0 to 2 heteroatoms selected from nitrogen and oxygen.

3. A compound according to claim 2 wherein R¹ and R² are both unsubstituted C₁-C₆ alkyl groups.

4. A compound according to any one of the preceding claims wherein R³ represents hydrogen or a halogen atom.

5. A compound according to any one of the preceding claims wherein R⁴ is as defined in claim 1 and R⁵ is hydrogen, a group of formula -(CH₂)_n-R⁶ or a hydrocarbon chain selected

from alkyl, alkenyl and alkynyl, which is optionally substituted by one or more groups selected from $-(CH_2)_n-R^6$ and $-(CH_2)_n-O-R^6$; each R^6 being a phenyl or a pyridyl group which is optionally substituted by one or more substituents selected from halogen, hydroxy, alkyl, alkoxy and alkylthio groups.

5

6. A compound according to claim 5, wherein R^5 is hydrogen or an alkyl group.

7. A compound according to any one of claims 5 or 6, wherein R^4 is

10 - hydrogen;

- a group of formula $-(CH_2)_n-R^6$ wherein n is 0, 1 or 2 and R^6 is a 5- to 6- membered heteroaryl or heterocyclyl group containing up to 2 heteroatoms selected from N, O and S, which is optionally substituted by a R^7 substituent selected from alkyl, alkoxy, arylalkyl or heteroarylalkyl groups, the aryl and heteroaryl moieties of these arylalkyl and heteroarylalkyl R^7 substituents being optionally substituted by 1 or 2 further R^8 substituents selected from halogen, cyano, alkyl, trifluoromethyl, alkoxy and alkylenedioxy; or

15

20 - an alkyl group which is optionally substituted by 1 or 2 substituents selected from amino, monoalkylamino, dialkylamino, $-OR^6$ and $-SR^6$ substituents, wherein R^6 is a 5- or 6- membered heteroaryl group containing 1 or 2 heteroatoms, and is optionally substituted by one or more R^7 substituents selected from hydroxy, halogen, amino, monoalkylamino, dialkylamino, cyano, hydroxycarbonyl, alkoxycarbonyl, alkoxy, alkylenedioxy and alkylthio; and wherein the alkyl chains of each of the said monoalkylamino and dialkylamino substituents are optionally substituted by 1 or 2 further substituents selected from a hydroxy group and a group of formula $-(CH_2)_n-R^6$, wherein n is an integer from 0 to 4 and R^6 is an aryl group.

25

30 8. A compound according to any one of claims 1 to 4 wherein R^4 and R^5 form, together with the nitrogen atom to which they are attached, an optionally bridged 5- to 7-membered aromatic or non-aromatic cyclic group which contains up to two nitrogen atoms, and which is optionally substituted by a group of formula $-(CH_2)_n-R^6$ or by a R^7 substituent selected from alkyl, alkenyl and alkynyl chains; the said alkyl, alkenyl and alkynyl chains being

35 optionally substituted by one or more groups of formula $-(CH_2)_n-R^6$ or R^8 substituents

selected from hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino, and dialkylamino groups; the alkyl chains in these R⁶ substituents being optionally substituted by one or more further substituents selected from a group of formula -(CH₂)_n-R⁶, and hydroxy, halogen, alkoxy, alkylthio, amino, monoalkylamino and dialkylamino groups;

- 5 wherein each of the R⁶ groups is optionally substituted by one or more R⁷ substituents and each of these R⁷ substituents is optionally substituted by one or more R⁸ substituents; each n, R⁶, R⁷ and R⁸ being as defined in claim 1.

9. A compound according to claim 8 wherein R⁴ and R⁵ form, together with the N atom to which they are attached, a 5-, 6- or 7- membered saturated heterocyclic group which contains 1 or 2 nitrogen atoms and which optionally carries a bridging alkylene group, said cyclic group being optionally substituted by a group of formula -(CH₂)_n-R⁶ wherein n is 0, 1 or 2 and R⁶ is a 5- or 6- membered aromatic or non-aromatic ring containing 0, 1 or 2 heteroatoms selected from N, O and S, or by a R⁷ substituent selected from alkyl and alkenyl groups, the group R⁶ being optionally substituted by 1, 2 or 3 further substituents selected from haloalkyl, alkyl, alkoxy, alkylenedioxy, cyano and halogen groups, and the said R⁷ substituent being optionally substituted by 1 or 2 phenyl substituents.

10. A compound according to claim 1 which is one of

20

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1H-pyrrolo[3,2-d]pyrimidin-6-yl)-N-[2-(pyridin-2-yloxy)ethyl]benzenesulfonamide

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1H-pyrrolo[3,2-d]pyrimidin-6-yl)-N-[2-(6-methoxypyridin-2-yloxy)ethyl]benzenesulfonamide

- 25 6-[4-(4-Benzyl)piperazine-1-sulphonyl]phenyl]-1,3-dimethyl-1,5-dihydropyrrolo[3,2-d]pyrimidine-2,4-dione

6-[4-[4-(4-Fluorobenzyl)piperazine-1-sulphonyl]phenyl]-1-methyl-3-propyl-1,5-dihydropyrrolo[3,2-d]pyrimidine-2,4-dione

- 30 6-[4-(4-Benzo[1,3]dioxol-5-ylmethylpiperazine-1-sulphonyl]phenyl]-1-methyl-3-propyl-1,5-dihydropyrrolo[3,2-d]pyrimidine-2,4-dione

6-[4-[4-(3-Fluorobenzyl)piperazine-1-sulphonyl]phenyl]-1,3-dimethyl-1,5-dihydropyrrolo[3,2-d]pyrimidine-2,4-dione

1-Methyl-3-propyl-6-[4-(4-pyridin-2-ylpiperazine-1-sulphonyl]phenyl]-1,5-dihydropyrrolo[3,2-d]pyrimidine-2,4-dione

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-(2-pyridin-2-ylethyl)benzenesulphonamide

4-(1-Methyl-2,4-dioxo-3-propyl-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-(2-pyridin-2-ylethyl)benzenesulphonamide

5 4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-pyridin-2-ylbenzenesulphonamide

4-(1,3-Dimethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-(6-methoxypyridin-3-yl)benzenesulphonamide

10 6-{4-[4-(5-Chlorothiophen-2-ylmethyl)piperazine-1-sulphonyl]phenyl}-1,3-dimethyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione

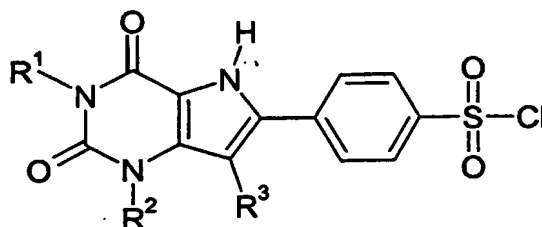
6-{4-[4-(5-Chlorothiophen-2-ylmethyl)piperazine-1-sulphonyl]phenyl}-1,3-diethyl-1,5-dihydropyrrolo[3,2-*d*]pyrimidine-2,4-dione

N-(1-Benzylpiperidin-4-yl)-4-(2,4-dioxo-1,3-dipropyl-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)benzenesulphonamide

15 4-(1,3-Diethyl-2,4-dioxo-2,3,4,5-tetrahydro-1*H*-pyrrolo[3,2-*d*]pyrimidin-6-yl)-*N*-[1-(4-fluorobenzyl)piperidin-4-yl]benzenesulphonamide

or a pharmaceutically acceptable salt or an *N*-oxide thereof.

20 11. A process for producing a compound of formula I as defined in any one of claims 1 to 10, which process comprises reacting a sulphonyl chloride of formula II

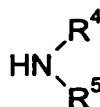


(II)

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wherein R^1 , R^2 and R^3 are as defined in any one of claims 1 to 4 or 10,

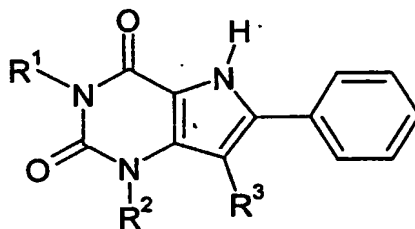
with the corresponding amine III



(III)

wherein R^4 and R^5 are as defined in any one of claims 1 or 5 to 10.

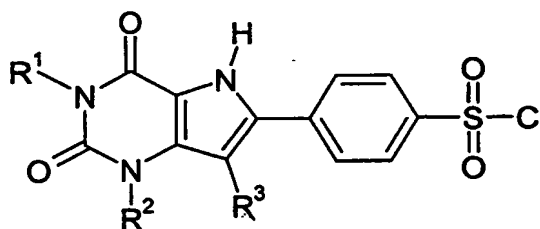
- 5 12. A process according to claim 11, wherein the sulphonyl chloride of formula II is obtained from the corresponding compound of formula IV:



(IV)

10 wherein R^1 , R^2 and R^3 are as defined in any one of claims 1 to 4 or 10, by reaction with an excess of chlorosulphonic acid.

13. A sulphonyl chloride of formula II



(II)

20 wherein R^1 , R^2 and R^3 are as defined in any one of claims 1 to 4 or 10.

25 14. A compound according to any one of claims 1 to 10 for use in the treatment of a pathological condition or disease susceptible to amelioration by antagonism of adenosine A_{2A} and/or A_{2B} receptors.

15. A pharmaceutical composition comprising a compound as defined in any one of claims 1 to 10 mixed with a pharmaceutically acceptable diluent or carrier.

16. Use of a compound as defined in any one of claims 1 to 10 in the manufacture of a medicament for the treatment of a pathological condition or disease susceptible of being improved by antagonism of A_{2A} and/or A_{2B} adenosine receptors.

- 5 17. Use according to claim 16, wherein the pathological condition or disease is Parkinson's disease, Alzheimer disease, Huntington chorea, Wilson's disease, asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and/or autoimmune diseases.
- 10 18. A method for treating a subject afflicted with a pathological condition or disease susceptible to amelioration by antagonism of A_{2A} and/or A_{2B} adenosine receptors, which comprises administering to said subject an effective amount of a compound as defined in any one of claims 1 to 10.
- 15 19. A method according to claim 18, wherein the pathological condition or disease is Parkinson's disease, Alzheimer disease, Huntington chorea, Wilson's disease, asthma, bronchoconstriction, allergic diseases, hypertension, atherosclerosis, reperfusion injury, myocardial ischemia, retinopathy, inflammation, gastrointestinal tract disorders, cell proliferation disorders, diabetes mellitus, and/or autoimmune diseases.
- 20